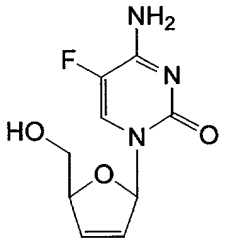
WE CLAIM:

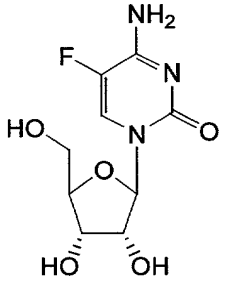
1. A process for the preparation of a compound of Formula (IV):



(IV)

comprising:

(1) contacting a compound of Formula (I):



(I)

with an acyl halide of Formula Q-C(=O)X, wherein:

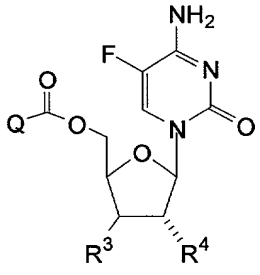
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or I;

R^1 is H or C_1-C_6 alkyl;

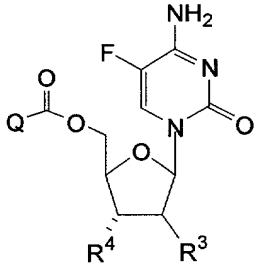
R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a compound of Formula (II), a compound of Formula (II*), or a mixture of compounds of Formula (II) and (II*):



(II)

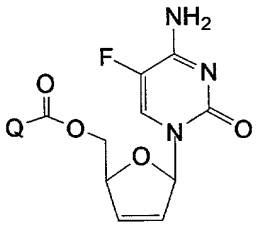
,



(II*)

wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the compound of Formula (II), the compound of Formula (II*), or the mixture of compounds of Formula (II) and (II*); with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III):



(III); and

(3) contacting the compound of Formula (III) with a suitable base to form the compound of Formula (IV).

2. The process of Claim 1 for the preparation of a compound of Formula (IV), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises: 2-acetoxy-2-methyl-propionyl bromide, 2-(acetoxy)-2-methyl-butanoyl bromide, 2-(acetoxy)-2-ethyl-butanoyl bromide, or 2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

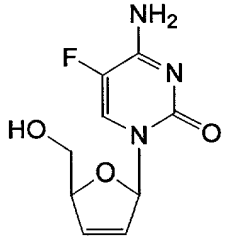
in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate,

butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

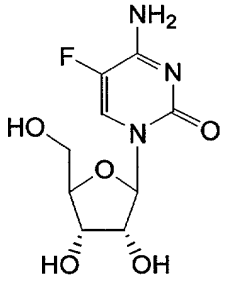
3. The process according to Claim 1, for the preparation of a compound of Formula (IV):



(IV)

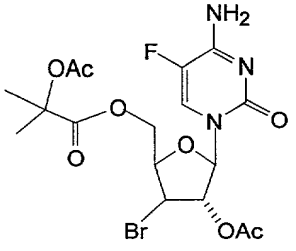
comprising:

(1) contacting a compound of Formula (I):

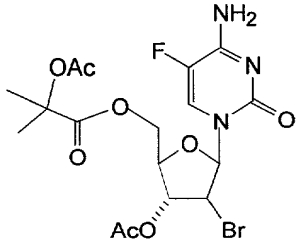


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a compound of Formula (II-a), a compound of Formula (II*-a), or a mixture of compounds of Formula (II-a) and (II*-a):

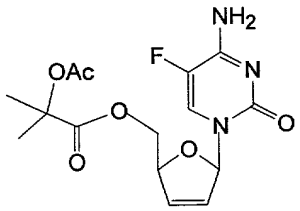


(II-a)



(II*-a)

(2) contacting the compound of Formula (II-a), the compound of Formula (II*-a), or the mixture of compounds of Formula (II-a) and (II*-a); with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with a suitable base to form the compound of Formula (IV).

4. The process of Claim 3 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate,

sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

5. The process of Claim 4 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises a combination of acetonitrile and ethyl acetate;

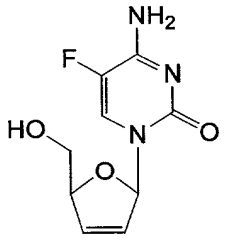
in step (2), the suitable reducing agent is Zn-Cu couple;

in step (2), the suitable acid catalyst, when present, is acetic acid;

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate; and

in step (3) the suitable base is sodium methoxide.

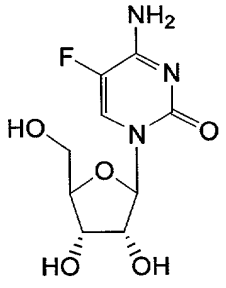
6. The process according to Claim 5, for the preparation of a compound of Formula (IV):



(IV)

comprising:

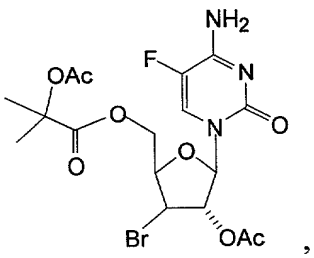
(1) contacting a compound of Formula (I):



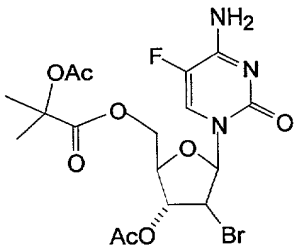
(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent comprising a combination of acetonitrile and ethyl acetate, wherein the ratio of acetonitrile to ethyl acetate is 1:4; to form a compound of Formula (II-a), a

compound of Formula (II*-a), or a mixture of compounds of Formula (II-a) and (II*-a):

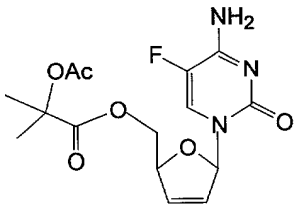


(II-a)



(II*-a)

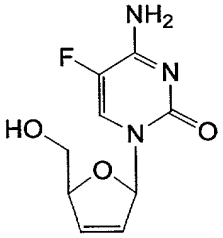
(2) contacting the compound of Formula (II-a), the compound of Formula (II*-a), or the mixture of compounds of Formula (II-a) and (II*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with sodium methoxide to form the compound of Formula (IV).

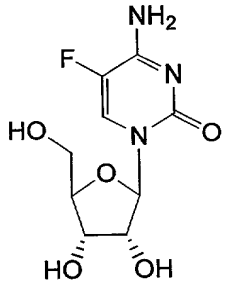
7. The process of Claim 1 for the preparation of a compound of Formula (IV):



(IV)

comprising:

(1) contacting a compound of Formula (I):



(I)

with an acyl halide of Formula Q-C(=O)X, wherein:

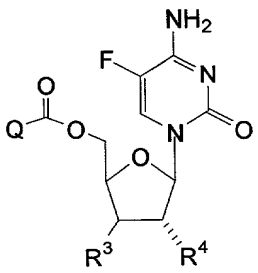
Q is $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or I;

R^1 is H, CH_3, CH_2CH_3, or $CH_2CH_2CH_3$;

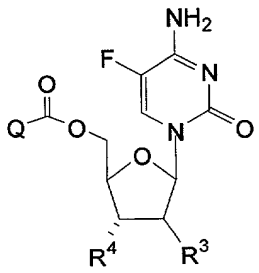
R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a compound of Formula (II) or a compound of Formula (II*):



(II)

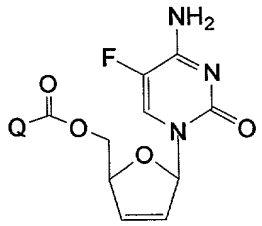
,



(II*)

wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the compound of Formula (II) or the compound of Formula (II*) with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III):



(III); and

(3) contacting the compound of Formula (III) with a suitable base to form the compound of Formula (IV).

8. The process of Claim 7 for the preparation of a compound of Formula (IV), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide, 2-(acetoxy)-2-methyl-butanoyl bromide, 2-(acetoxy)-2-ethyl-butanoyl bromide, or 2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises

one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

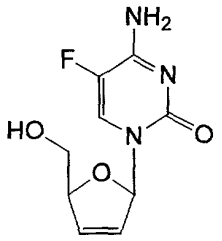
in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises

one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

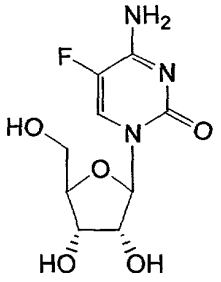
9. The process according to Claim 7, for the preparation of a compound of Formula (IV):



(IV)

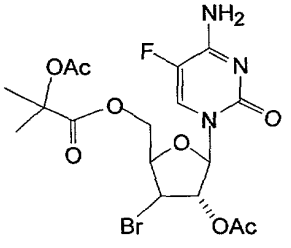
comprising:

(1) contacting a compound of Formula (I):

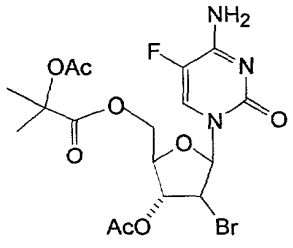


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a compound of Formula (II-a) or a compound of Formula (II*-a):

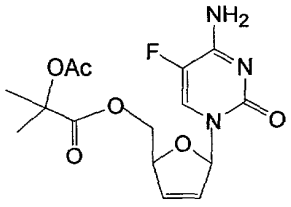


(II-a)



(II*-a)

(2) contacting the compound of Formula (II-a) or the compound of Formula (II*-a) with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with a suitable base to form the compound of Formula (IV).

10. The process of Claim 9 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

11. The process of Claim 10 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises a combination of acetonitrile and ethyl acetate;

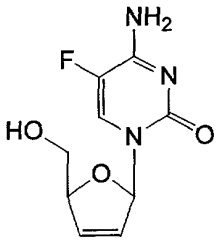
in step (2), the suitable reducing agent is Zn-Cu couple;

in step (2), the suitable acid catalyst, when present, is acetic acid;

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate; and

in step (3) the suitable base is sodium methoxide.

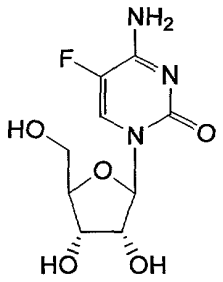
12. The process according to Claim 11, for the preparation of a compound of Formula (IV):



(IV)

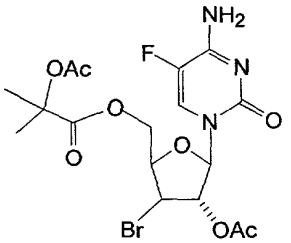
comprising:

(1) contacting a compound of Formula (I):

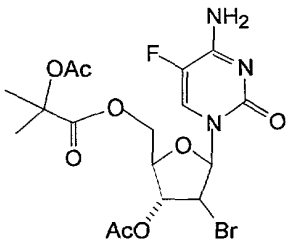


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent comprising a combination of acetonitrile and ethyl acetate, wherein the ratio of acetonitrile to ethyl acetate is 1:4; to form a compound of Formula (II-a) or a compound of Formula (II*-a):



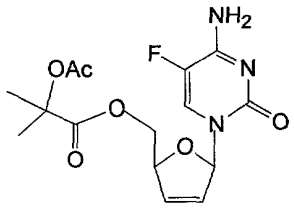
(II-a)



(II*-a)

(2) contacting the compound of Formula (II-a) or the compound of Formula (II*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of

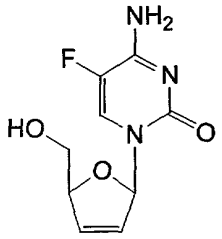
methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with sodium methoxide to form the compound of Formula (IV).

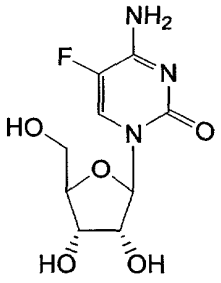
13. The process of Claim 1 for the preparation of a compound of Formula (IV):



(IV)

comprising:

(1) contacting a compound of Formula (I):



(I)

with an acyl halide of Formula Q-C(=O)X, wherein:

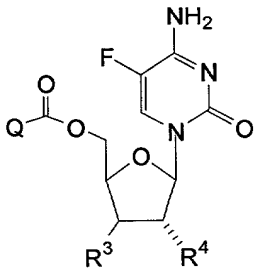
Q is $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or I;

R^1 is H, CH_3, CH_2CH_3, or $CH_2CH_2CH_3$;

R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a mixture of compounds of Formula (II) and (II*):



(II)

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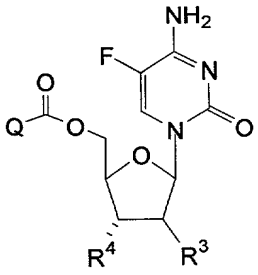
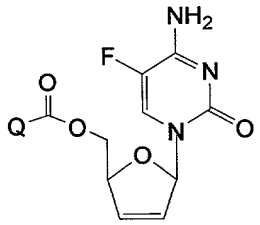


(II*)

wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the mixture of compounds of Formula (II) and (II*) with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III):



(III); and

(3) contacting the compound of Formula (III) with a suitable base to form the compound of Formula (IV).

14. The process of Claim 13 for the preparation of a compound of Formula (IV), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide, 2-(acetoxy)-2-methyl-butanoyl bromide, 2-(acetoxy)-2-ethyl-butanoyl bromide, or 2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

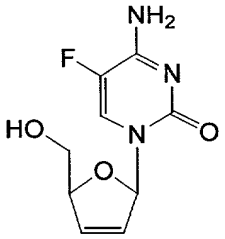
in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

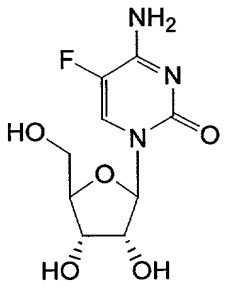
15. The process according to Claim 13, for the preparation of a compound of Formula (IV):



(IV)

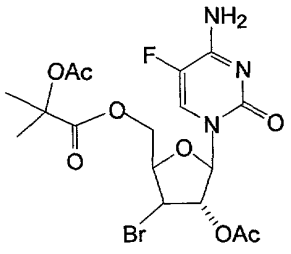
comprising:

(1) contacting a compound of Formula (I):

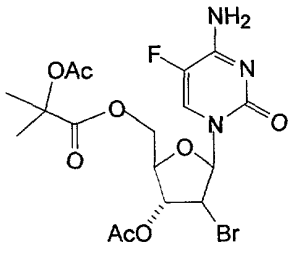


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a mixture of compounds of Formula (II-a) and (II*-a):

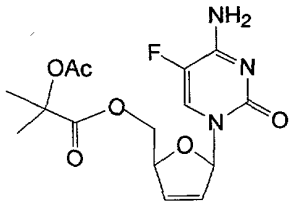


(II-a)



(II*-a)

(2) contacting the mixture of compounds of Formula (II-a) and (II*-a) with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with a suitable base to form the compound of Formula (IV).

16. The process of Claim 15 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

17. The process of Claim 16 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises a combination of acetonitrile and ethyl acetate;

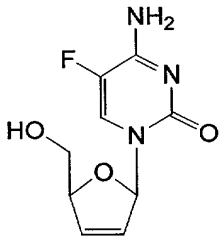
in step (2), the suitable reducing agent is Zn-Cu couple;

in step (2), the suitable acid catalyst, when present, is acetic acid;

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate; and

in step (3) the suitable base is sodium methoxide.

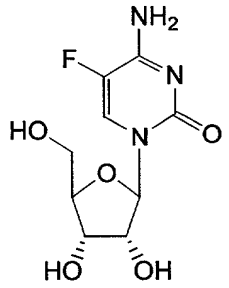
18. The process according to Claim 17, for the preparation of a compound of Formula (IV):



(IV)

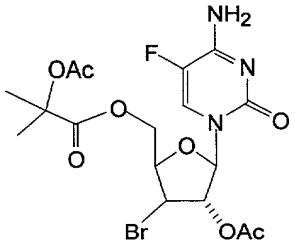
comprising:

(1) contacting a compound of Formula (I):



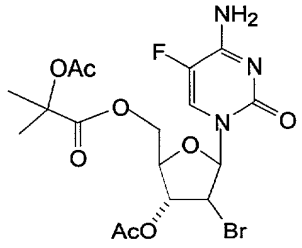
(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent comprising a combination of acetonitrile and ethyl acetate, wherein the ratio of acetonitrile to ethyl acetate is 1:4; to form a mixture of compounds of Formula (II-a) and (II*-a):



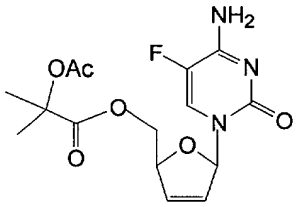
(II-a)

,



(II*-a)

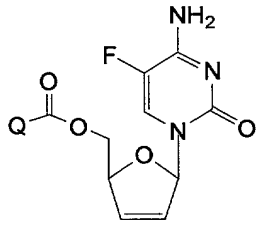
(2) contacting the mixture of compounds of Formula (II-a) and (II*-a) with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (III-a):



(III-a); and

(3) contacting the compound of Formula (III-a) with sodium methoxide to form the compound of Formula (IV).

19. A process for the preparation of a compound of Formula (III):



(III)

wherein:

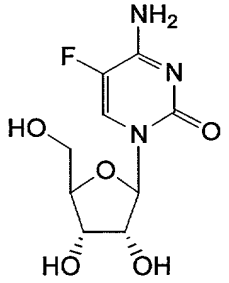
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

R^1 is H or C_1-C_6 alkyl;

R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

comprising:

(1) contacting a compound of Formula (I):



(I)

with an acyl halide of Formula Q-C(=O)X, wherein:

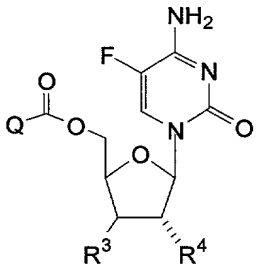
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or I;

R^1 is H or C_1-C_6 alkyl;

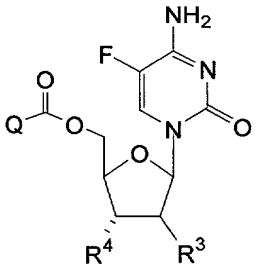
R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a compound of Formula (II), a compound of Formula (II*), or a mixture of compounds of Formula (II) and (II*):



(II)

,



(II*)

wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-; and

(2) contacting the compound of Formula (II), the compound of Formula (II*), or the mixture of compounds of Formula (II) and (II*); with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III).

20. The process of Claim 19 for the preparation of a compound of Formula (III), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide, 2-(acetoxy)-2-methyl-butanoyl bromide, 2-(acetoxy)-2-ethyl-butanoyl bromide, or 2-(acetoxy)-2-methyl-pentanoyl bromide;

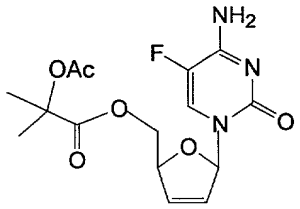
in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4; and

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether.

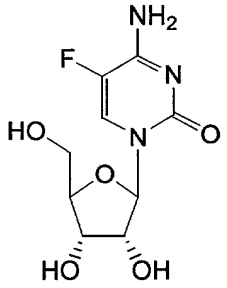
21. The process according to Claim 19, for the preparation of a compound of Formula (III-a):



(III-a)

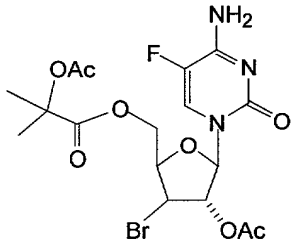
comprising:

(1) contacting a compound of Formula (I):

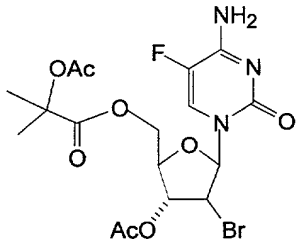


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a compound of Formula (II-a), a compound of Formula (II*-a), or a mixture of compounds of Formula (II-a) and (II*-a):



(II-a)



(II*-a)

(2) contacting the compound of Formula (II-a), the compound of Formula (II*-a), or the mixture of compounds of Formula (II-a) and (II*-a); with a suitable reducing agent in a suitable polar solvent, optionally in the presence of a suitable acid catalyst, to form a compound of Formula (III-a).

22. The process of Claim 21 for the preparation of a compound of Formula (III-a), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl

methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the suitable reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the suitable acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4; and

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether.

23. The process of Claim 22 for the preparation of a compound of Formula (III-a), wherein:

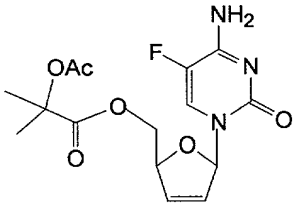
in step (1), the suitable polar aprotic solvent comprises a combination of acetonitrile and ethyl acetate;

in step (2), the suitable reducing agent is Zn-Cu couple;

in step (2), the suitable acid catalyst, when present, is acetic acid; and

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate.

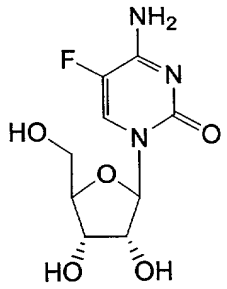
24. The process according to Claim 23, for the preparation of a compound of Formula (III-a):



(III-a)

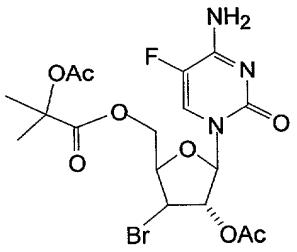
comprising:

(1) contacting a compound of Formula (I):

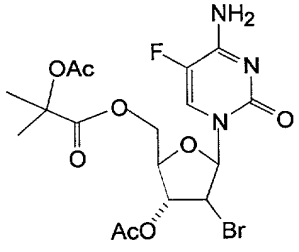


(I)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent comprising a combination of acetonitrile and ethyl acetate, wherein the ratio of acetonitrile to ethyl acetate is 1:4; to form a compound of Formula (II-a), a compound of Formula (II*-a), or a mixture of compounds of Formula (II-a) and (II*-a):



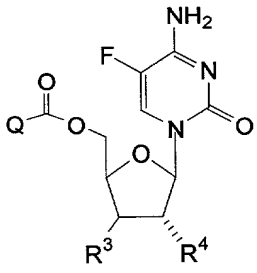
(II-a)



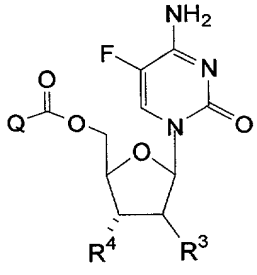
(II*-a)

(2) contacting the compound of Formula (II-a), the compound of Formula (II*-a), or the mixture of compounds of Formula (II-a) and (II*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (III-a).

25. A compound of Formula (II) or (II*):



(II)



(II*)

or a pharmaceutically acceptable salt thereof, wherein:

Q is R^1CH_2- or $R^1CH_2C(=O)OC(R^2)_2$–;

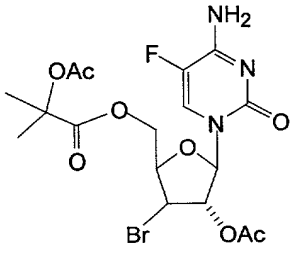
R^1 is H or C_1-C_6 alkyl;

R^2 is independently selected from methyl, ethyl, and propyl;

R^3 is Cl, Br, or I; and

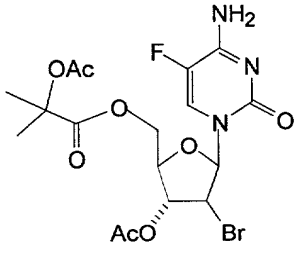
R^4 is $R^1CH_2C(=O)O$–.

26. A compound of Claim 25 of Formula (II-a) or (II*-a):



(II-a)

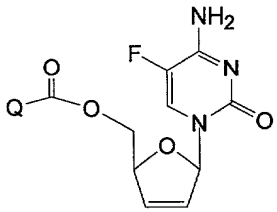
,



(II*-a)

or a pharmaceutically acceptable salt thereof.

27. A compound of Formula (III):



(III)

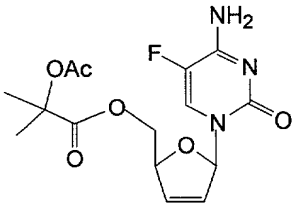
or a pharmaceutically acceptable salt thereof, wherein:

Q is R^1CH_2- or $R^1CH_2C(=O)OC(R^2)_2$–;

R^1 is H or C_1-C_6 alkyl; and

R^2 is independently selected from methyl, ethyl, and propyl.

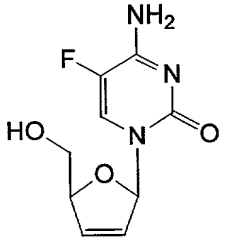
28. A compound of Claim 27 of Formula (III-a):



(III-a)

or a pharmaceutically acceptable salt thereof.

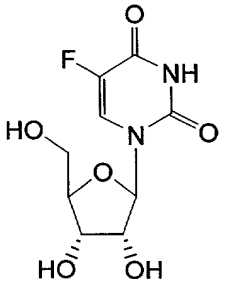
29. A process for the preparation of a compound of Formula (IV):



(IV)

comprising:

(1) contacting a compound of Formula (IV):



(V)

with an acyl halide of Formula Q-C(=O)X, wherein:

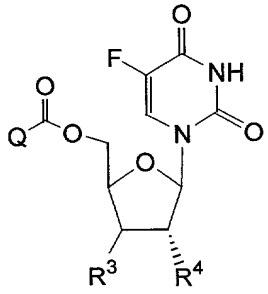
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or IV;

R^1 is H or C_1-C_6 alkyl;

R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a compound of Formula (VI), a compound of Formula (VI*), or a mixture of compounds of Formula (VI) and (VI*):



(VI)

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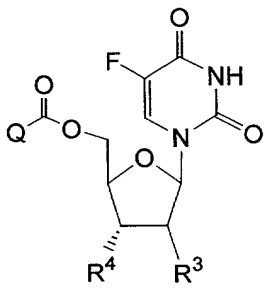
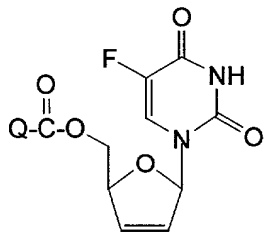


(VI*)

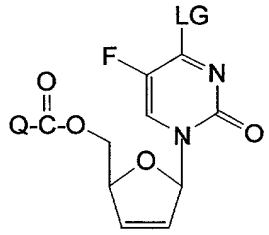
wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the compound of Formula (VI), the compound of Formula (VI*), or the mixture of compounds of Formula (VI) and (VI*); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII):



(VII);

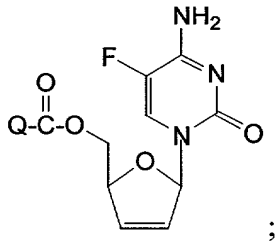
(3a) contacting the compound of Formula (VII) with an activating agent in the presence of an amine base, to form a compound of Formula (VIII):



(VIII)

wherein LG is a leaving group derived from the activating agent;

(3b) contacting the compound of Formula (VIII) with an aminating agent to form a compound of Formula (III),



; and

(III)

(4) contacting the compound of Formula (III) with a suitable base to form the compound of Formula (IV).

30. The process of Claim 29 for the preparation of a compound of Formula (IV), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide,

2-(acetoxy)-2-methyl-butanoyl bromide,

2-(acetoxy)-2-ethyl-butanoyl bromide, or

2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents, and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether;

in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride, p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

in step (3a) the amine base is selected from the group consisting of: triethylamine, tributylamine, N-methylmorpholine, N,N-diisopropyl-ethylamine, N,N-dimethylcyclohexylamine, N,N-diethylcyclohexylamine, N,N-dimethyloctylamine, tetramethylethylenediamine, pyridine, N,N-dimethyl-aminopyridine,

1,4-diazabicyclo[2.2.2]octane,

1,8-diazabicyclo[5.4.0]undec-7-ene, and

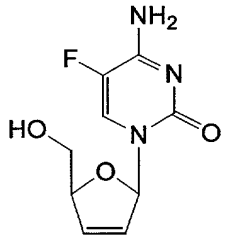
1,5-diazabicyclo[4.3.0]non-5-ene;

in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl;

in step (3b) the aminating agent is selected from the group consisting of: NH_3, ammonium hydroxide, and ammonium carbonate; and

in step (4) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

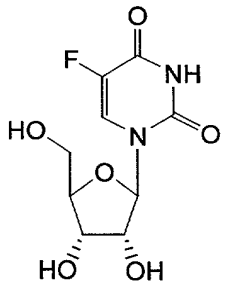
31. The process according to Claim 29, for the preparation of a compound of Formula (IV):



(IV)

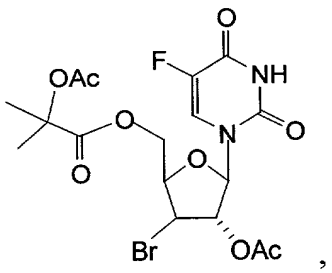
comprising:

(1) contacting a compound of Formula (V):

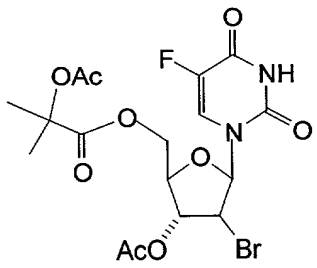


(V)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a compound of Formula (VI-a), a compound of Formula (VI*-a), or a mixture of compounds of Formula (VI-a) and (VI*-a):

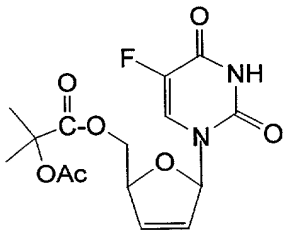


(VI-a)



(VI*-a)

(2) contacting the compound of Formula (VI-a), the compound of Formula (VI*-a), or the mixture of compounds of Formula (VI-a) and (VI*-a); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII-a):



(VII-a);

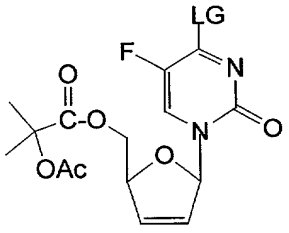
(3a) contacting the compound of Formula (VII-a) with an activating agent selected from the group consisting of:

i) an aryl sulfonyl halide,

ii) an alkyl sulfonyl halide, and

iii) 1,2,4-triazole in the presence of a phosphorus chloride;

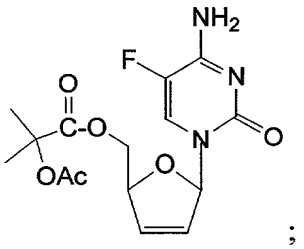
in the presence of an amine base, to form a compound of Formula (VIII-a);



(VIII-a)

wherein LG is a leaving group derived from the activating agent;

(3b) contacting the compound of Formula (VIII-a) with an aminating agent to form a compound of Formula (III-a),



;

(III-a)

and

(4) contacting the compound of Formula (III-a) with a suitable base to form the compound of Formula (IV).

32. The process of Claim 31 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether;

in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride,

p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

in step (3a) the amine base is selected from the group consisting of: triethylamine, tributylamine, N-methylmorpholine, N,N-diisopropyl-ethylamine, tetramethylethylenediamine, pyridine, N,N-dimethyl-aminopyridine, 1,4-diazabicyclo[2.2.2]octane, and 1,8-diazabicyclo[5.4.0]undec-7-ene;

in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl;

in step (3b) the aminating agent is selected from the group: NH_3, ammonium hydroxide, and ammonium carbonate; and

in step (4) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

33. The process of Claim 32 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one solvent which is acetonitrile;

in step (2), the reducing agent is Zn-Cu couple;

in step (2), the acid catalyst, when present, is acetic acid;

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate;

in step (3a) the activating agent is triazole/phosphorus oxychloride;

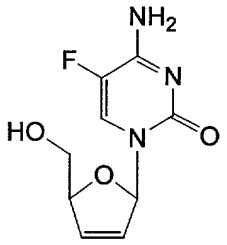
in step (3a) the amine base is triethylamine;

in step (3a) the leaving group LG is triazolyl;

in step (3b), the aminating agent is NH_3; and

in step (4) the suitable base is sodium methoxide.

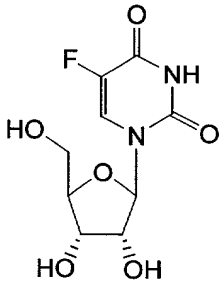
34. The process according to Claim 33, for the preparation of a compound of Formula (IV):



(IV)

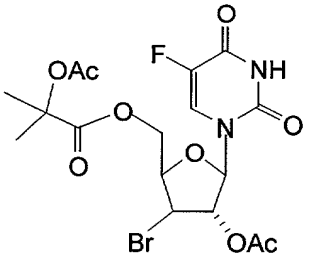
comprising:

(1) contacting a compound of Formula (V):

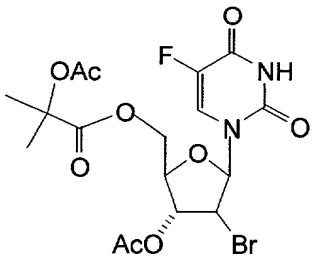


(V)

with 2-acetoxy-2-methyl-propionyl bromide in acetonitrile to form a compound of Formula (VI-a), a compound of Formula (VI*-a), or a mixture of compounds of Formula (VI-a) and (VI*-a):

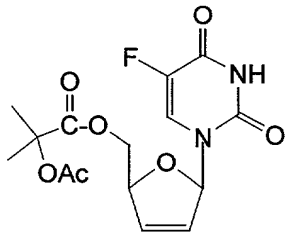


(VI-a)



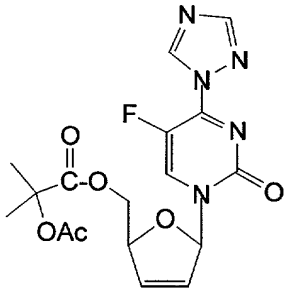
(VI*-a)

(2) contacting the compound of Formula (VI-a), the compound of Formula (VI*-a), or the mixture of compounds of Formula (VI-a) and (VI*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (VII-a):



(VII-a);

(3a) contacting the compound of Formula (VII-a) with 1,2,4-triazole/phosphorus oxychloride, in the presence of triethylamine, to form a compound of Formula (VIII-a):

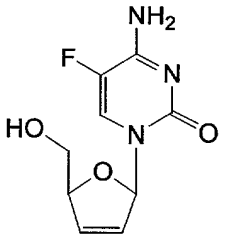


(VIII-a);

(3b) contacting the compound of Formula (VIII-a) with NH_3, to form a compound of Formula (III-a), and

(4) contacting the compound of Formula (III-a) with sodium methoxide to form the compound of Formula (IV).

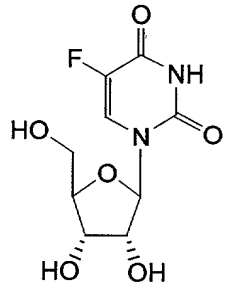
35. The process of Claim 29 for the preparation of a compound of Formula (IV):



(IV)

comprising:

(1) contacting a compound of Formula (V):



(V)

with an acyl halide of Formula Q-C(=O)X, wherein:

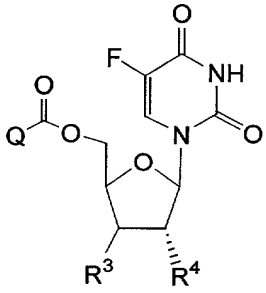
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or IV;

R^1 is H or C_1-C_6 alkyl;

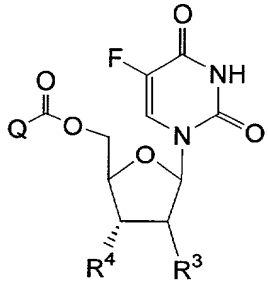
R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a mixture of compounds of Formula (VI) and (VI*):



(VI)

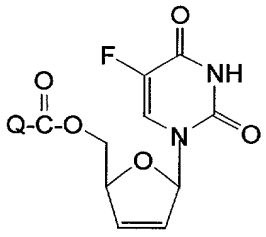
,



(VI*)

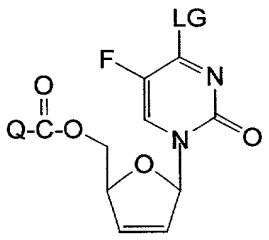
wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the mixture of compounds of Formula (VI) and (VI*); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII):



(VII);

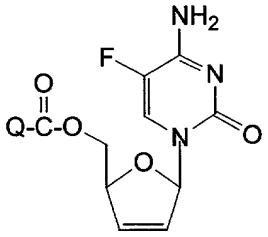
(3a) contacting the compound of Formula (VII) with an activating agent in the presence of an amine base, to form a compound of Formula (VIII):



(VIII)

wherein LG is a leaving group derived from the activating agent;

(3b) contacting the compound of Formula (VIII) with an aminating agent to form a compound of Formula (III),



; and

(III)

(4) contacting the compound of Formula (III) with a suitable base to form the compound of Formula (IV).

36. The process of Claim 35 for the preparation of a compound of Formula (IV), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide,

2-(acetoxy)-2-methyl-butanoyl bromide,

2-(acetoxy)-2-ethyl-butanoyl bromide, or

2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents, and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether;

in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride, p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

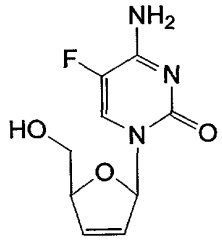
in step (3a) the amine base is selected from the group consisting of: triethylamine, tributylamine, N-methylmorpholine, N,N-diisopropyl-ethylamine, N,N-dimethylcyclohexylamine, N,N-diethylcyclohexylamine, N,N-dimethyloctylamine, tetramethylethylenediamine, pyridine, N,N-dimethyl-aminopyridine, 1,4-diazabicyclo[2.2.2]octane, 1,8-diazabicyclo[5.4.0]undec-7-ene, and 1,5-diazabicyclo[4.3.0]non-5-ene;

in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl;

in step (3b) the aminating agent is selected from the group consisting of: NH_3, ammonium hydroxide, and ammonium carbonate; and

in step (4) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

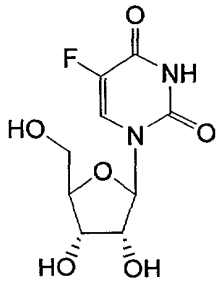
37. The process according to Claim 35, for the preparation of a compound of Formula (IV):



(IV)

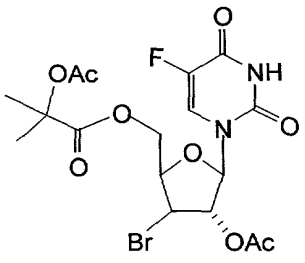
comprising:

(1) contacting a compound of Formula (V):

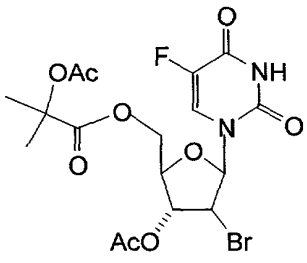


(V)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a mixture of compounds of Formula (VI-a) and (VI*-a):

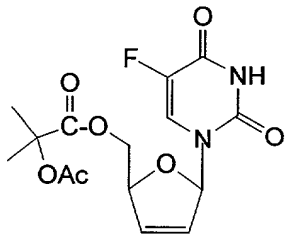


(VI-a)



(VI*-a)

(2) contacting the mixture of compounds of Formula (VI-a) and (VI*-a); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII-a):



(VII-a);

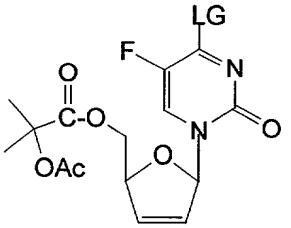
(3a) contacting the compound of Formula (VII-a) with a activating agent selected from the group consisting of:

i) an aryl sulfonyl halide,

ii) an alkyl sulfonyl halide, and

iii) 1,2,4-triazole in the presence of a phosphorus chloride;

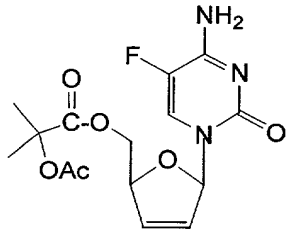
in the presence of an amine base, to form a compound of Formula (VIII-a);



(VIII-a)

wherein LG is a leaving group derived from the activating agent;

(3b) contacting the compound of Formula (VIII-a) with an aminating agent to form a compound of Formula (III-a),



;

(III-a)

and

(4) contacting the compound of Formula (III-a) with a suitable base to form the compound of Formula (IV).

38. The process of Claim 37 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether;

in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride, p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

in step (3a) the amine base is selected from the group consisting of: triethylamine, tributylamine, N-methylmorpholine, N,N-diisopropyl-ethylamine, tetramethylethylenediamine, pyridine, N,N-dimethyl-aminopyridine, 1,4-diazabicyclo[2.2.2]octane, and 1,8-diazabicyclo[5.4.0]undec-7-ene;

in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl;

in step (3b) the aminating agent is selected from the group: NH_3, ammonium hydroxide, and ammonium carbonate; and

in step (4) the suitable base is selected from the group consisting of: sodium hydroxide, lithium hydroxide, potassium carbonate, sodium carbonate, sodium methoxide, sodium ethoxide, C_3-C_6 alkyl primary amine, ammonium hydroxide, and ammonium C_1-C_6 alkoxide.

39. The process of Claim 38 for the preparation of a compound of Formula (IV), wherein:

in step (1), the suitable polar aprotic solvent comprises one solvent which is acetonitrile;

in step (2), the reducing agent is Zn-Cu couple;

in step (2), the acid catalyst, when present, is acetic acid;

in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate;

in step (3a) the activating agent is triazole/phosphorus oxychloride;

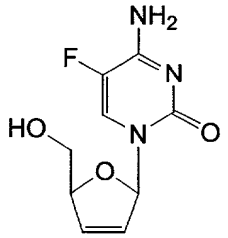
in step (3a) the amine base is triethylamine;

in step (3a) the leaving group LG is triazolyl;

in step (3b), the aminating agent is NH_3; and

in step (4) the suitable base is sodium methoxide.

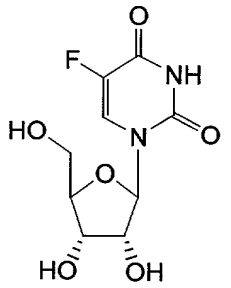
40. The process according to Claim 39, for the preparation of a compound of Formula (IV):



(IV)

comprising:

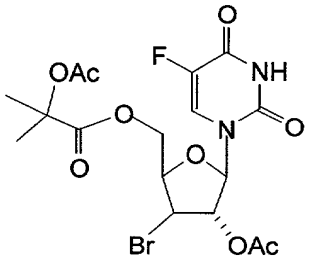
(1) contacting a compound of Formula (V):



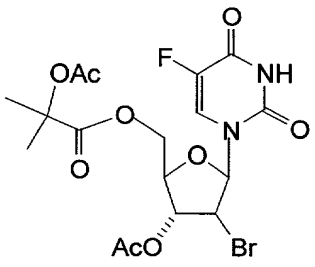
(V)

with 2-acetoxy-2-methyl-propionyl bromide in acetonitrile to form a mixture of compounds of Formula (VI-a) and

(VI*-a):

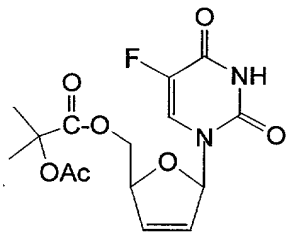


(VI-a)



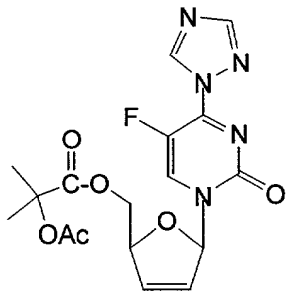
(VI*-a)

(2) contacting the mixture of compounds of Formula (VI-a) and (VI*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (VII-a):



(VII-a);

(3a) contacting the compound of Formula (VII-a) with 1,2,4-triazole/phosphorus oxychloride, in the presence of triethylamine, to form a compound of Formula (VIII-a):

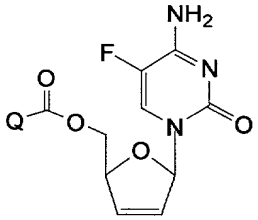


(VIII-a);

(3b) contacting the compound of Formula (VIII-a) with NH_3, to form a compound of Formula (III-a), and

(4) contacting the compound of Formula (III-a) with sodium methoxide to form the compound of Formula (IV).

41. A process for the preparation of a compound of Formula (III):



(III)

wherein:

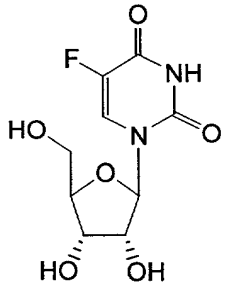
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

R^1 is H or C_1-C_6 alkyl;

R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

comprising:

(1) contacting a compound of Formula (V):



(V)

with an acyl halide of Formula Q-C(=O)X, wherein:

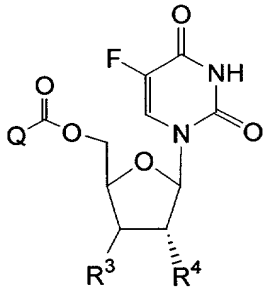
Q is 2-($R^1CH_2CO_2$)phenyl-, R^1CH_2-, or $R^1CH_2C(=O)OC(R^2)_2$–;

X is Cl, Br, or IV;

R^1 is H or C_1-C_6 alkyl;

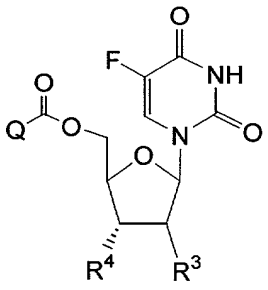
R^2, at each occurrence, is independently selected from methyl, ethyl, and propyl;

in a suitable polar aprotic solvent to form a compound of Formula (VI), a compound of Formula (VI*), or a mixture of compounds of Formula (VI) and (VI*):



(VI)

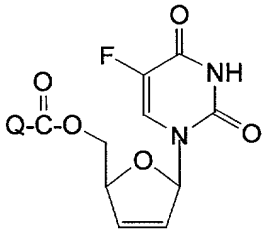
,



(VI*)

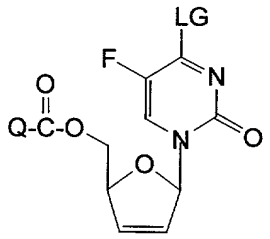
wherein R^3 is X; and R^4 is $R^1CH_2C(=O)O$-;

(2) contacting the compound of Formula (VI), the compound of Formula (VI*), or the mixture of compounds of Formula (VI) and (VI*); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII):



(VII);

(3a) contacting the compound of Formula (VII) with an activating agent in the presence of an amine base, to form a compound of Formula (VIII):



(VIII)

wherein LG is a leaving group derived from the activating agent;

(3b) contacting the compound of Formula (VIII) with an aminating agent to form a compound of Formula (III).

42. The process of Claim 41 for the preparation of a compound of Formula (III), wherein:

in step (1) the acyl halide of Formula Q-C(=O)X comprises:

2-acetoxy-2-methyl-propionyl bromide,

2-(acetoxy)-2-methyl-butanoyl bromide,

2-(acetoxy)-2-ethyl-butanoyl bromide, or

2-(acetoxy)-2-methyl-pentanoyl bromide;

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group

consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether;

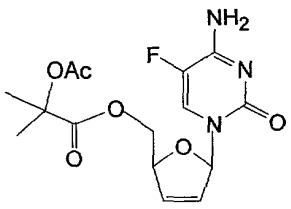
in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride, p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

in step (3a) the amine base is selected from the group consisting of: triethylamine, tributylamine, N-methylmorpholine, N,N-diisopropyl-ethylamine, N,N-dimethylcyclohexylamine, N,N-diethylcyclohexylamine, N,N-dimethyloctylamine, tetramethylethylenediamine, pyridine, N,N-dimethyl-aminopyridine, 1,4-diazabicyclo[2.2.2]octane, 1,8-diazabicyclo[5.4.0]undec-7-ene, and 1,5-diazabicyclo[4.3.0]non-5-ene;

in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl;

in step (3b) the aminating agent is selected from the group: NH_3, ammonium hydroxide, and ammonium carbonate.

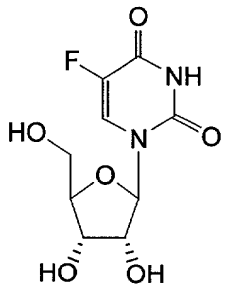
43. The process according to Claim 41, for the preparation of a compound of Formula (III-a):



(III-a)

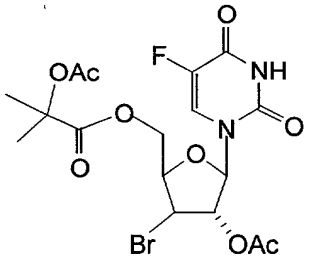
comprising:

(1) contacting a compound of Formula (V):

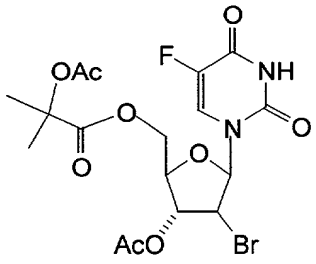


(V)

with 2-acetoxy-2-methyl-propionyl bromide in a suitable polar aprotic solvent to form a compound of Formula (VI-a), a compound of Formula (VI*-a), or a mixture of compounds of Formula (VI-a) and (VI*-a):

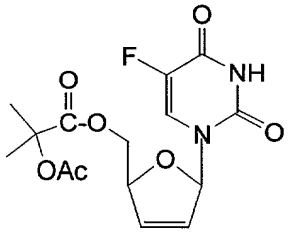


(VI-a)



(VI*-a)

(2) contacting the compound of Formula (VI-a), the compound of Formula (VI*-a), or the mixture of compounds of Formula (VI-a) and (VI*-a); with a reducing agent in a suitable polar solvent, optionally in the presence of an acid catalyst, to form a compound of Formula (VII-a);



(VII-a);

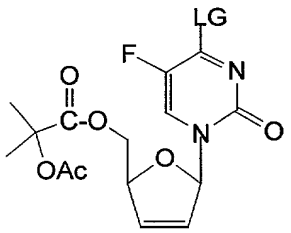
(3a) contacting the compound of Formula (VII-a) with a activating agent selected from the group consisting of:

i) an aryl sulfonyl halide,

ii) an alkyl sulfonyl halide, and

iii) 1,2,4-triazole in the presence of a phosphorus chloride;

in the presence of an amine base, to form a compound of Formula (VIII-a):



(VIII-a)

wherein LG is a leaving group derived from the activating agent; and

(3b) contacting the compound of Formula (VIII-a) with an aminating agent to form a compound of Formula (III-a).

44. The process of Claim 43 for the preparation of a compound of Formula (III-a), wherein:

in step (1), the suitable polar aprotic solvent comprises one polar aprotic solvent or a combination of two or more polar aprotic solvents; and is selected from the group consisting of: methylene chloride, tetrahydrofuran, t-butyl methyl ether, dimethoxy ethane, 2-methoxyethyl ether, dimethylformamide, dimethylacetamide, acetonitrile, ethyl acetate, and isopropyl acetate;

in step (2), the reducing agent is selected from the group consisting of: Fe, Zn-Cu couple and Zn;

in step (2), the acid catalyst, when present, is selected from the group consisting of: acetic acid, propanoic acid, butyric acid, benzoic acid, toluene sulfonic acid, HCl, HBr, HI, and H_2SO_4;

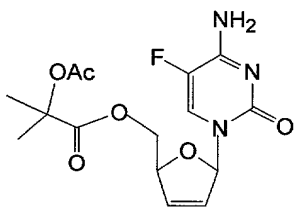
in step (2), the suitable polar solvent comprises one polar solvent or a combination of two or more polar solvents; and is selected from the group consisting of: methanol, ethanol, propanol, ethyl acetate, propyl acetate, butyl acetate, isopropyl acetate, acetonitrile, tetrahydrofuran, dimethoxy ethane, and 2-methoxyethyl ether; and

in step (3a) the activating agent is selected from the group consisting of: methanesulfonyl chloride, trifluoromethyl sulfonyl chloride, ethanesulfonyl chloride, benzenesulfonyl chloride, p-toluene-sulfonyl chloride, triazole/phosphorus oxychloride and triazole/diphenyl chloro-phosphate;

in step (3a) the amine base is selected from the group consisting of:
triethylamine, tributylamine,
N-methylmorpholine, N,N-diisopropyl-ethylamine,
tetramethylethylenediamine, pyridine,
N,N-dimethyl-aminopyridine,
1,4-diazabicyclo[2.2.2]octane, and
1,8-diazabicyclo[5.4.0]undec-7-ene;
in step (3a) the leaving group LG is selected from the group consisting of methanesulfonyloxy, trifluoromethyl-sulfonyloxy, ethanesulfonyloxy, benzenesulfonyloxy, toluenesulfonyloxy, and triazolyl; and
in step (3b) the aminating agent is selected from the group: NH_3, ammonium hydroxide, and ammonium carbonate.

45. The process of Claim 44 for the preparation of a compound of Formula (III-a), wherein:
in step (1), the suitable polar aprotic solvent comprises one solvent which is acetonitrile;
in step (2), the reducing agent is Zn-Cu couple;
in step (2), the acid catalyst, when present, is acetic acid;
in step (2), the suitable polar solvent comprises a combination of methanol and ethyl acetate;
in step (3a) the activating agent is triazole/phosphorus oxychloride;
in step (3a) the amine base is triethylamine;
in step (3a) the leaving group LG is triazolyl; and
in step (3b), the aminating agent is NH_3.

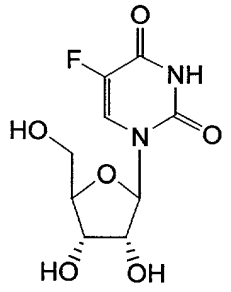
46. The process according to Claim 45, for the preparation of a compound of Formula (III-a):



(III-a)

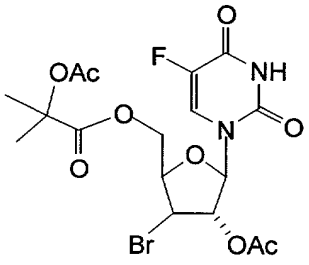
comprising:

(1) contacting a compound of Formula (V):

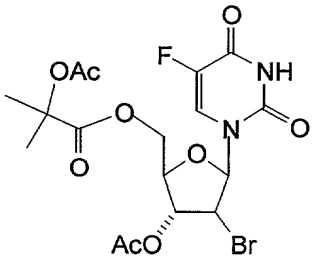


(V)

with 2-acetoxy-2-methyl-propionyl bromide in acetonitrile to form a compound of Formula (VI-a), a compound of Formula (VI*-a), or a mixture of compounds of Formula (VI-a) and (VI*-a):

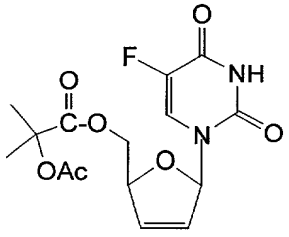


(VI-a)



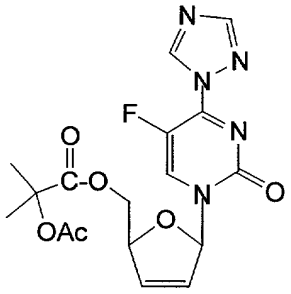
(VI*-a)

(2) contacting the compound of Formula (VI-a), the compound of Formula (VI*-a), or the mixture of compounds of Formula (VI-a) and (VI*-a); with Zn-Cu couple in a suitable polar solvent comprising a combination of methanol and ethyl acetate, wherein the ratio of methanol to ethyl acetate is in the range of 1:2 to 1:4; optionally in the presence of acetic acid, to form a compound of Formula (VII-a):



(VII-a);

(3a) contacting the compound of Formula (VII-a) with 1,2,4-triazole/phosphorus oxychloride, in the presence of triethylamine, to form a compound of Formula (VIII-a):

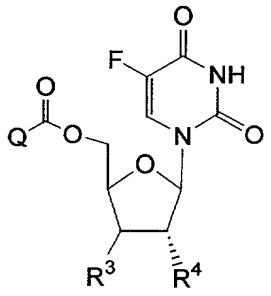


(VIII-a);

and

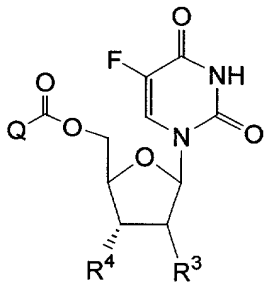
(3b) contacting the compound of Formula (VIII-a) with NH_3, to form a compound of Formula (III-a).

47. A compound of Formula (VI) or (VI*):



(VI)

,



(VI*)

or a pharmaceutically acceptable salt thereof, wherein:

Q is R^1CH_2- or $R^1CH_2C(=O)OC(R^2)_2$–;

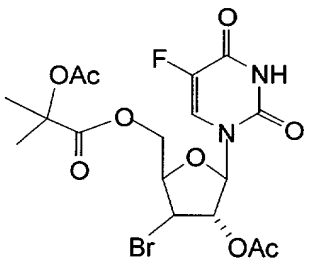
R^1 is H or C_1-C_6 alkyl;

R^2 is independently selected from methyl, ethyl, and propyl;

R^3 is Cl, Br, or IV; and

R^4 is $R^1CH_2C(=O)O$–.

48. A compound of Claim 47 of Formula (VI-a) or (VI*-a):



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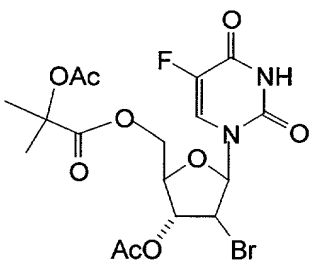
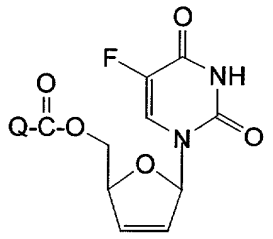


(VI-a) (VI*-a)

or a pharmaceutically acceptable salt thereof.

49. A compound of Formula (VII):



(VII)

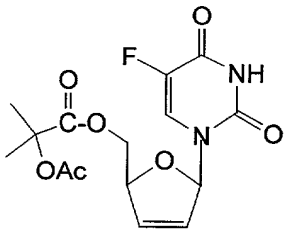
or a pharmaceutically acceptable salt thereof, wherein:

Q is R^1CH_2- or $R^1CH_2C(=O)OC(R^2)_2$–;

R^1 is H or C_1-C_6 alkyl; and

R^2 is independently selected from methyl, ethyl, and propyl.

50. A compound of Claim 49 of Formula (VII-a):

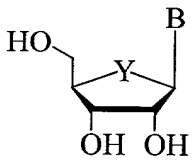


(VII-a)

or a pharmaceutically acceptable salt thereof.

51. A process for the preparation of a β-D- and β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside comprising:

a) activating a compound of structure (1)



(1)

wherein B is a pyrimidine or purine base; and

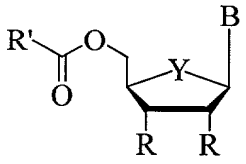
Y is O, S or CH_2;

with an acyl halide of the formula X-C(=O)R^1, X-C(=O)C(R^1)$_2$OC(=O)R^1 or X-C(=O)phenylC(=O)OR^1;

wherein X is a halogen (F, Cl, Br or I), and

each R^1 is independently hydrogen, lower alkyl, alkyl, aryl or phenyl;

to form a compound of structure (2)

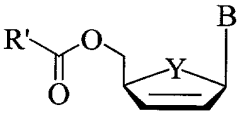


(2)

wherein R' is R^1, –C(R^1)$_2$OC(=O)R^1 or -phenylC(=O)OR^1; and

at least one R is halogen (F, Cl, Br or I), and at least one R is an acyl of the formula -OC(=O)R^1; and then

b) reducing the compound of structure (2) with a reducing agent to form a 2',3'-dideoxy-2',3'-didehydro-nucleoside of structure (3)



(3)

c) optionally deprotecting the nucleoside if necessary.

52. The process of Claim 51, wherein B is 5-fluorouracil or 5-fluorocytosine.

53. The process of Claim 51, wherein Y is O.

54. The process of Claim 51, wherein the β-D- and β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside is D4FC.

55. The process of Claim 51, wherein the β-D- and β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside is β-D-D4FC.

56. The process of Claim 51, wherein the β-D- and β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside is β-D-D4FC.

57. The process of Claim 51, further comprising reducing the β-D or β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside into a β-D or β-L-2'- or 3'-deoxyribo-nucleoside.

58. The process of Claim 51, further comprising converting the β-D or β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside bearing a different nucleobase.

59. The process of Claim 58, wherein the β-D or β-L-2',3'-dideoxy-2',3'-didehydro-nucleoside is β-D or β-L-2',3'-dideoxy-2',3'-didehydro-5-fluorouridine which is converted to a β-D or β-L-2',3'-dideoxy-2',3'-didehydro-5-fluorocytidine.